10561259

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	OCT 02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS 3	OCT 19	BEILSTEIN updated with new compounds
NEWS 4	NOV 15	Derwent Indian patent publication number format enhanced
NEWS 5	NOV 19	WPIX enhanced with XML display format
NEWS 6	NOV 30	ICSD reloaded with enhancements
NEWS 7	DEC 04	LINPADOCDB now available on STN
NEWS 8	DEC 14	BEILSTEIN pricing structure to change
NEWS 9	DEC 17	USPATOLD added to additional database clusters
NEWS 10	DEC 17	IMSDRUGCONF removed from database clusters and STN
NEWS 11	DEC 17	DGENE now includes more than 10 million sequences
NEWS 12	DEC 17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS 13	DEC 17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14	DEC 17	CA/CAplus enhanced with new custom IPC display formats
NEWS 15	DEC 17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS 16	JAN 02	STN pricing information for 2008 now available
NEWS 17	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS 18	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS 19	JAN 28	MARPAT searching enhanced
NEWS 20	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS 21	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23	FEB 08	STN Express, Version 8.3, now available
NEWS 24	FEB 20	PCI now available as a replacement to DPCI
NEWS 25	FEB 25	IFIREF reloaded with enhancements
NEWS 26	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS 27	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS 28	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS 29	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS 30	MAR 31	CA/CAplus and CASREACT patent number format for U.S. applications updated
NEWS 31	MAR 31	LPCI now available as a replacement to LDPCI

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:05:52 ON 31 MAR 2008

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 10:06:02 ON 31 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 30 MAR 2008 HIGHEST RN 1011030-42-4
DICTIONARY FILE UPDATES: 30 MAR 2008 HIGHEST RN 1011030-42-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

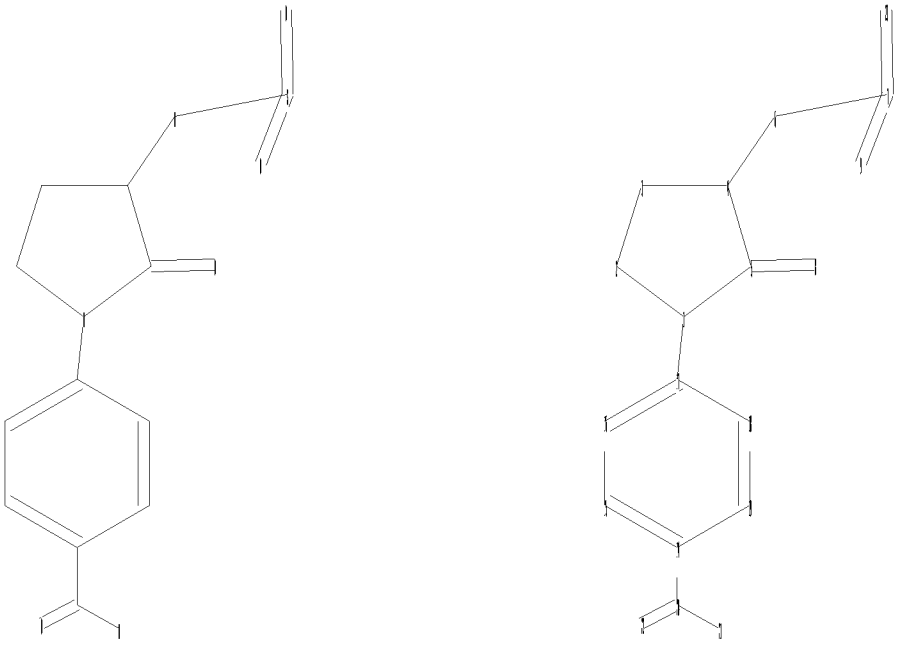
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and

predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10561259z1.str



chain nodes :
6 7 8 9 20 21 22 23
ring nodes :
1 2 3 4 5 14 15 16 17 18 19
chain bonds :
1-17 4-6 5-8 6-7 7-9 7-23 14-20 20-21 20-22
ring bonds :
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
1-2 1-5 1-17 4-6 5-8 6-7 7-9 7-23 20-21 20-22
exact bonds :
2-3 3-4 4-5 14-20
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :

G1:Cy,Hy,Ph

G2:Cy,Hy,Ak

G3:Cy,Hy,Ak,Ph

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:06:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:06:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 712 TO ITERATE

100.0% PROCESSED 712 ITERATIONS 57 ANSWERS
SEARCH TIME: 00.00.01

L3 57 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'HCAPLUS' ENTERED AT 10:06:32 ON 31 MAR 2008

FILE COVERS 1907 - 31 Mar 2008 VOL 148 ISS 14
FILE LAST UPDATED: 30 Mar 2008 (20080330/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4            3 L3

=> s l4 and py<=2003
      23980128 PY<=2003
L5            1 L4 AND PY<=2003

=> FIL REGISTRY
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                  10.76     189.33

FILE 'REGISTRY' ENTERED AT 10:08:52 ON 31 MAR 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   30 MAR 2008  HIGHEST RN 1011030-42-4
DICTIONARY FILE UPDATES:  30 MAR 2008  HIGHEST RN 1011030-42-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\Stnexp\Queries\10561259z2.str
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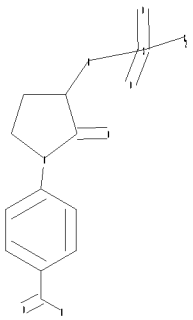
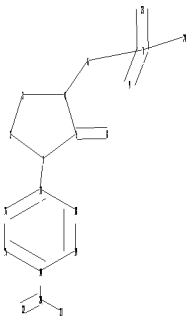




chain nodes :
6 7 8 9 20 21 22 23 24
ring nodes :
1 2 3 4 5 14 15 16 17 18 19
chain bonds :
1-17 4-6 5-8 6-7 7-9 7-23 7-24 14-20 20-21 20-22
ring bonds :
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
1-2 1-5 1-17 4-6 5-8 6-7 7-9 7-23 7-24 20-21 20-22
exact bonds :
2-3 3-4 4-5 14-20
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :

G1:Cy,Hy,Ph

G2:Cy,Hy,Ak

G3:Cy,Hy,Ak,Ph

```
Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  7:CLASS  8:CLASS  9:CLASS  14:Atom
 15:Atom  16:Atom  17:Atom  18:Atom  19:Atom  20:CLASS  21:CLASS  22:CLASS
23:CLASS  24:CLASS



L6      STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l6
SAMPLE SEARCH INITIATED 10:09:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       31 TO ITERATE

100.0% PROCESSED       31 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          286 TO      954
PROJECTED ANSWERS:               1 TO       80

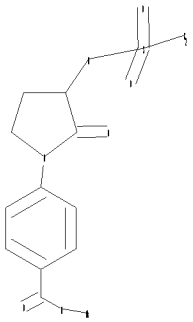
L7            1 SEA SSS SAM L6

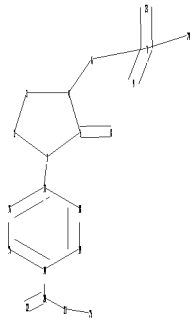
=> s l6 sss full
FULL SEARCH INITIATED 10:09:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      712 TO ITERATE

100.0% PROCESSED      712 ITERATIONS                              57 ANSWERS
SEARCH TIME: 00.00.01

L8           57 SEA SSS FUL L6

=>
Uploading C:\Program Files\Stnexp\Queries\10561259z3.str
```





chain nodes :
6 7 8 9 20 21 22 23 24 25
ring nodes :
1 2 3 4 5 14 15 16 17 18 19
chain bonds :
1-17 4-6 5-8 6-7 7-9 7-23 7-24 14-20 20-21 20-22 21-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 14-15 14-19 15-16 16-17 17-18 18-19
exact/norm bonds :
1-2 1-5 1-17 4-6 5-8 6-7 7-9 7-23 7-24 20-21 20-22 21-25
exact bonds :
2-3 3-4 4-5 14-20
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19
isolated ring systems :
containing 1 : 14 :

G1:Cy,Hy,Ph

G2:Cy,Hy,Ak

G3:Cy,Hy,Ak,Ph

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 14:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS
23:CLASS 24:CLASS 25:CLASS

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l9
SAMPLE SEARCH INITIATED 10:10:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 1 TO 80

L10 1 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 10:10:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 712 TO ITERATE

100.0% PROCESSED 712 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01

L11 55 SEA SSS FUL L9

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 357.64 546.97

FILE 'HCAPLUS' ENTERED AT 10:11:03 ON 31 MAR 2008
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 31 Mar 2008 VOL 148 ISS 14
FILE LAST UPDATED: 30 Mar 2008 (20080330/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> d his

(FILE 'HOME' ENTERED AT 10:05:52 ON 31 MAR 2008)

FILE 'REGISTRY' ENTERED AT 10:06:02 ON 31 MAR 2008
L1 STRUCTURE UPLOADED
L2 1 S L1
L3 57 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:06:32 ON 31 MAR 2008
L4 3 S L3
L5 1 S L4 AND PY<=2003

FILE 'REGISTRY' ENTERED AT 10:08:52 ON 31 MAR 2008
L6 STRUCTURE UPLOADED
L7 1 S L6
L8 57 S L6 SSS FULL
L9 STRUCTURE UPLOADED
L10 1 S L9
L11 55 S L9 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:11:03 ON 31 MAR 2008

=> s l8
L12 3 L8

=> s l11
L13 3 L11

=> d l4 ibib abs hitstr tot

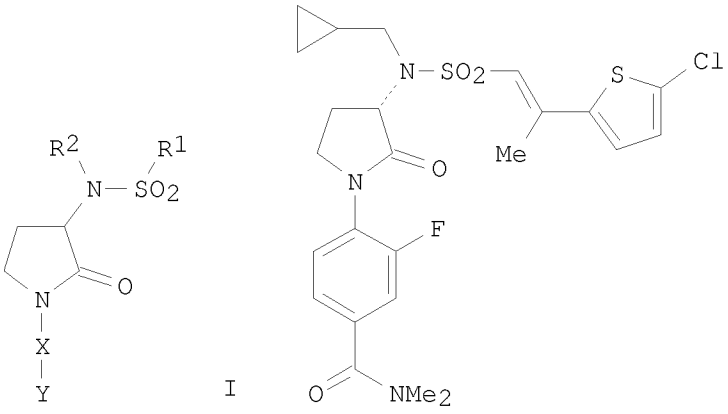
L4 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1124629 HCAPLUS
DOCUMENT NUMBER: 142:74440
TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-one derivatives as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry Anderson; Kleanthous, Savvas; Mason, Andrew Mcmurtrie; Watson, Nigel Stephen
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110435	A1	20041223	WO 2004-EP6592	20040617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1635817	A1	20060322	EP 2004-736979	20040617
EP 1635817	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006527729	T	20061207	JP 2006-515988	20040617
AT 345795	T	20061215	AT 2004-736979	20040617
ES 2276307	T3	20070616	ES 2004-736979	20040617
US 20060148879	A1	20060706	US 2005-561545	20051219
US 7329685	B2	20080212		

PRIORITY APPLN. INFO.:		GB 2003-14299	A	20030619
		WO 2004-EP6592	W	20040617

OTHER SOURCE(S): MARPAT 142:74440
GI



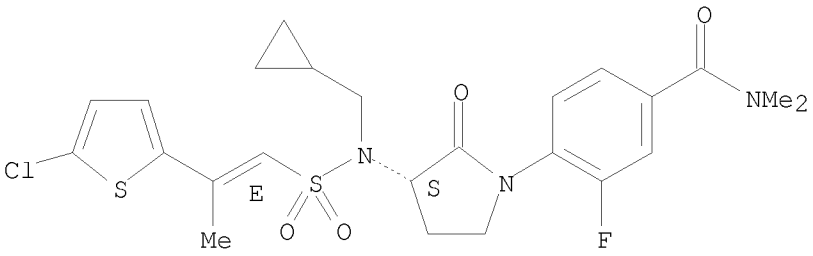
AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, phenyl(alkyl), etc.; R2 = alkyl(cycloalkyl), alkylamino, alkoxyalkyl, etc.; with the proviso that R2 does not present alkylmorpholino; X = (un)substituted Ph or aromatic heterocyclic group; Y = H, halo, alkyl, amino, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was

given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. The prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values less than 0.1 μM, and in measurement of prothrombin time (PT) of human plasma. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

IT 811788-71-3P 811788-72-4P 811788-73-5P
811788-74-6P 811788-75-7P 811788-76-8P
811788-77-9P 811788-78-0P 811788-79-1P
811788-80-4P 811788-81-5P 811788-82-6P
811788-83-7P 811788-84-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(sulfonylamino)pyrrolidine-2-one derivs. as factor Xa inhibitors)

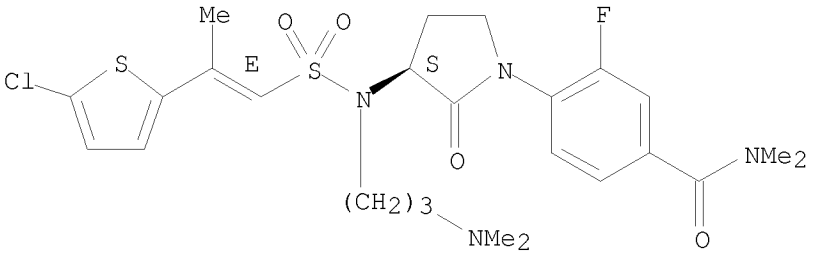
RN 811788-71-3 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](cyclopropylmethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811788-72-4 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][3-(dimethylamino)propyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

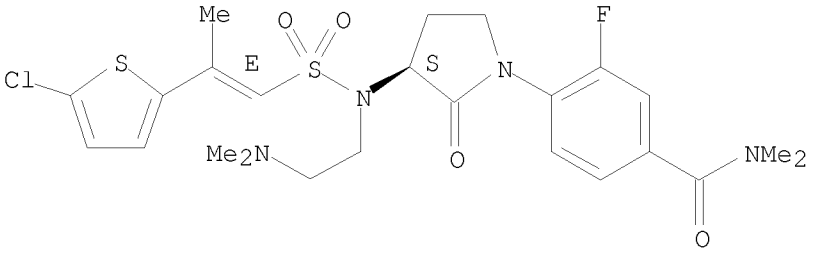
Absolute stereochemistry.
Double bond geometry as shown.



RN 811788-73-5 HCAPLUS

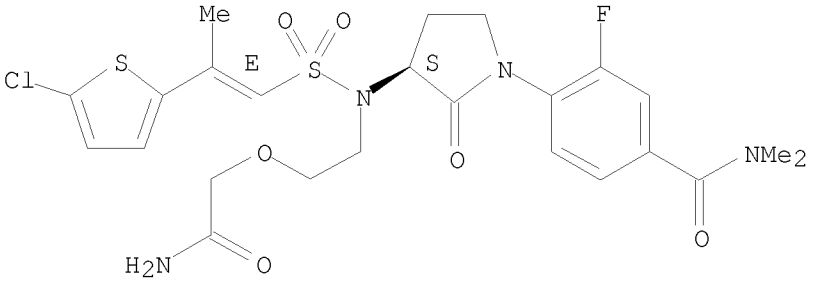
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][2-(dimethylamino)ethyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



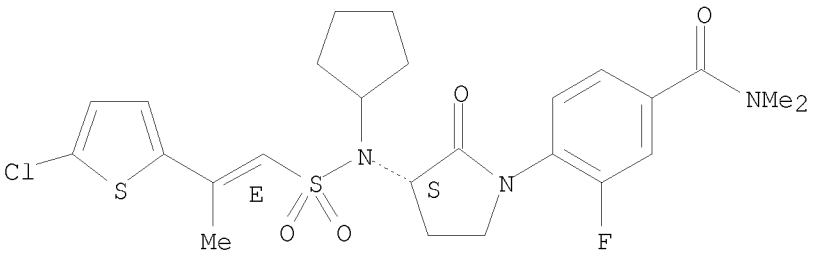
RN 811788-74-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[2-(2-amino-2-oxoethoxy)ethyl][[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



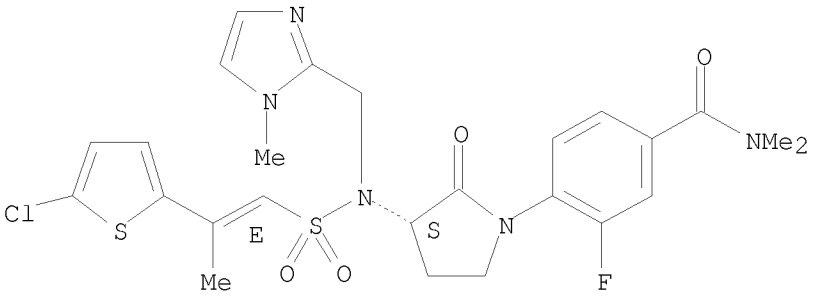
RN 811788-75-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]cyclopentylamino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



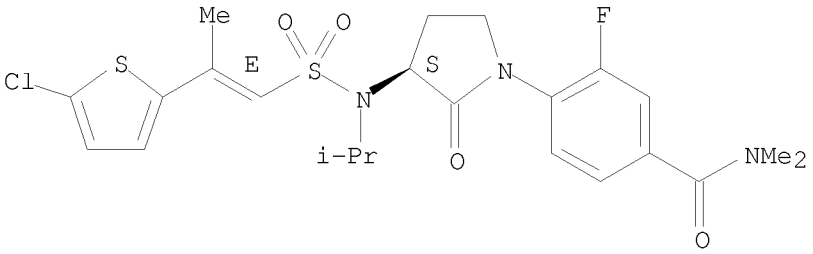
RN 811788-76-8 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][(1-methyl-1H-imidazol-2-yl)methyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



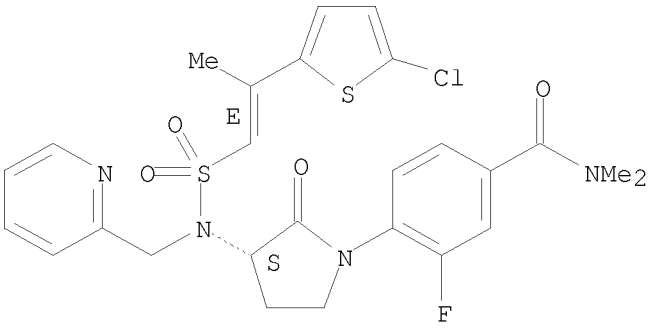
RN 811788-77-9 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](1-methylethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



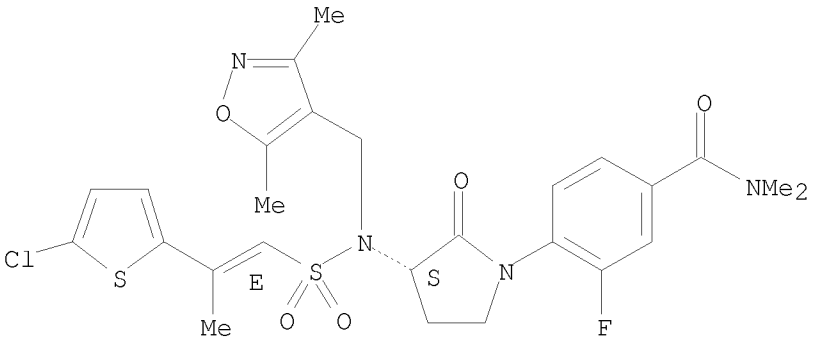
RN 811788-78-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](2-pyridinylmethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



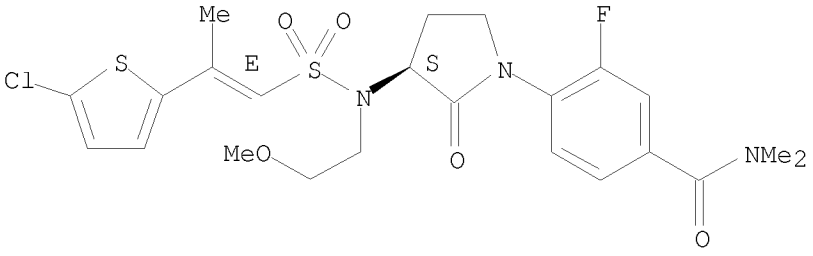
RN 811788-79-1 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][(3,5-dimethyl-4-isoxazolyl)methyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811788-80-4 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl](2-methoxyethyl)amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

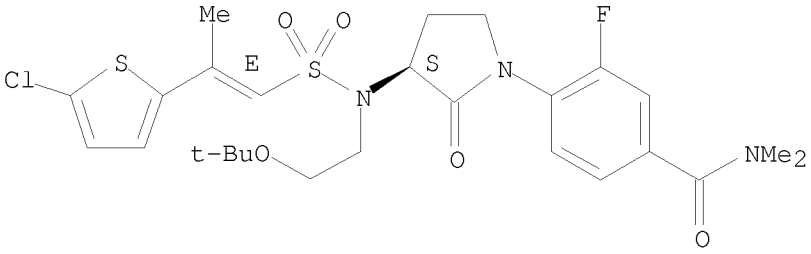
Absolute stereochemistry.
Double bond geometry as shown.



RN 811788-81-5 HCAPLUS

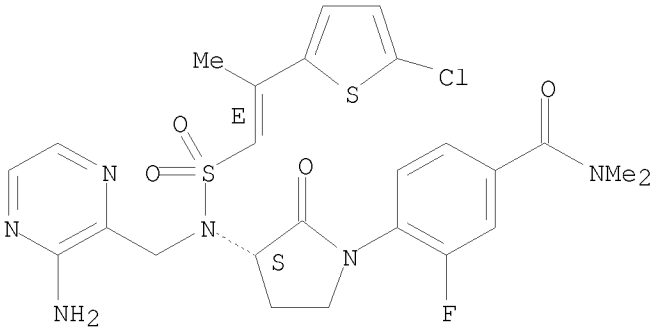
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl][2-(1,1-dimethylethoxy)ethyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



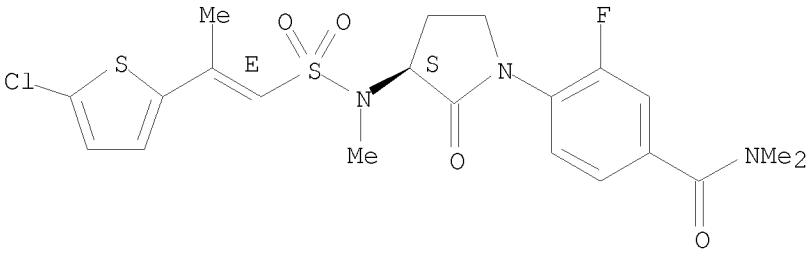
RN 811788-82-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(3-aminopyrazinyl)methyl][[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



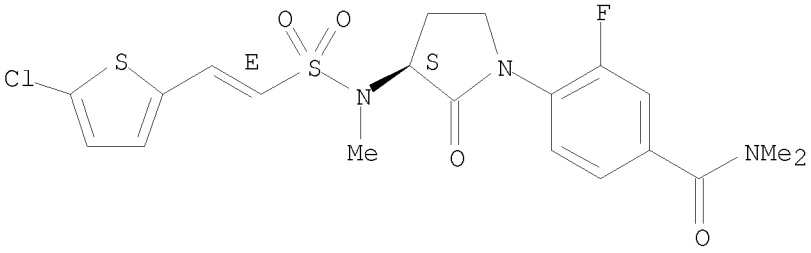
RN 811788-83-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]methylamino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



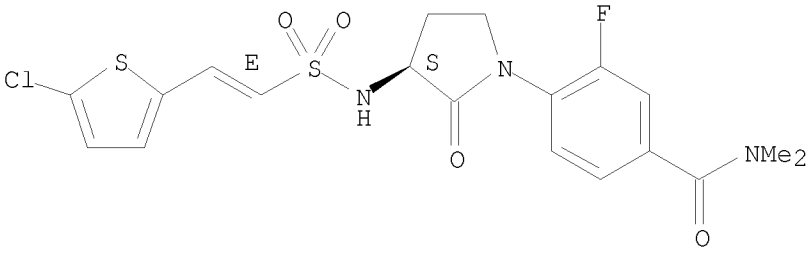
RN 811788-84-8 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]methyl amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



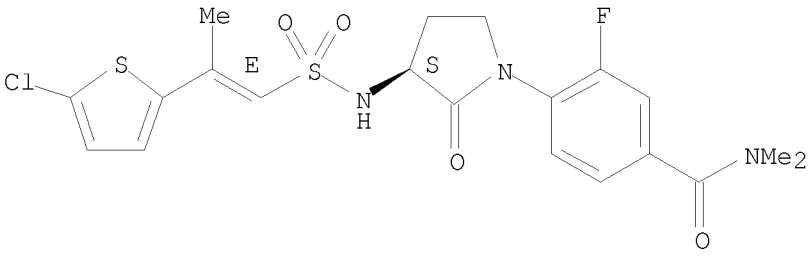
IT 553651-62-0P 553651-68-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(sulfonylamino)pyrrolidine-2-one derivs. as factor Xa inhibitors)
RN 553651-62-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 553651-68-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

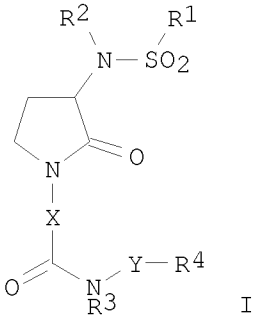


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

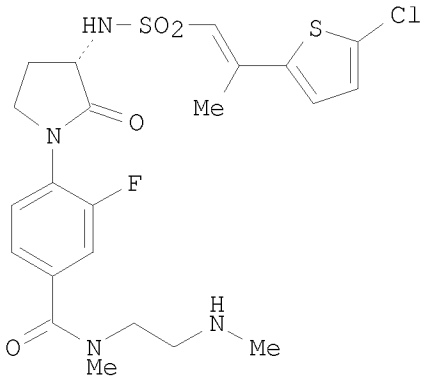
L4 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1124628 HCAPLUS
DOCUMENT NUMBER: 142:74439
TITLE: Preparation of 3-(sulfonylamino)pyrrolidine-2-one derivatives as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Kleanthous, Savvas; Senger, Stefan; Smith, Ian Edward David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004110434	A1	20041223	WO 2004-EP6591		20040617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1633347	A1	20060315	EP 2004-740039		20040617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
JP 2006527728	T	20061207	JP 2006-515987		20040617
US 20070203206	A1	20070830	US 2006-561259		20060428
PRIORITY APPLN. INFO.:			GB 2003-14370	A	20030619
			WO 2004-EP6591	W	20040617

OTHER SOURCE(S): MARPAT 142:74439
GI



I



II

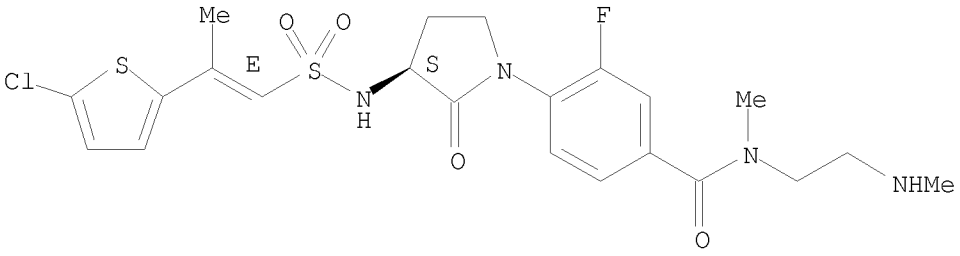
AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, thienylalkyl, phenyl(alkyl), etc.; R2 = H, alkyl, alkylamido, carbonylalkoxy, etc.; X = (un)substituted Ph or aromatic heterocyclic group; Y = absent or alkylene; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. Most of the prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values of less than 1 μM. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

IT 811793-44-9P 811793-49-4P 811793-53-0P
811793-56-3P 811793-61-0P 811793-62-1P
811793-65-4P 811793-69-8P 811793-71-2P
811793-74-5P 811793-76-7P 811793-79-0P
811793-82-5P 811793-83-6P 811793-84-7P
811793-86-9P 811793-87-0P 811793-90-5P
811793-92-7P 811793-94-9P 811793-96-1P
811793-98-3P 811793-99-4P 811794-01-1P
811794-02-2P 811794-03-3P 811794-04-4P
811794-05-5P 811794-07-7P 811794-09-9P
811794-11-3P 811794-12-4P 811794-14-6P
811794-16-8P 811794-18-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-phenyl-3-(sulfonylamino)pyrrolidine-2-one derivs. as factor Xa inhibitors)

RN 811793-44-9 HCAPLUS

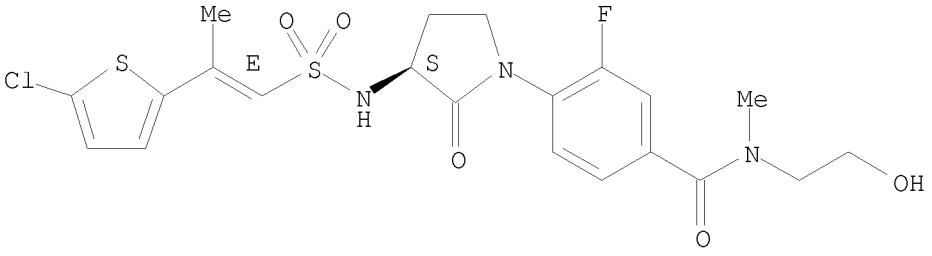
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



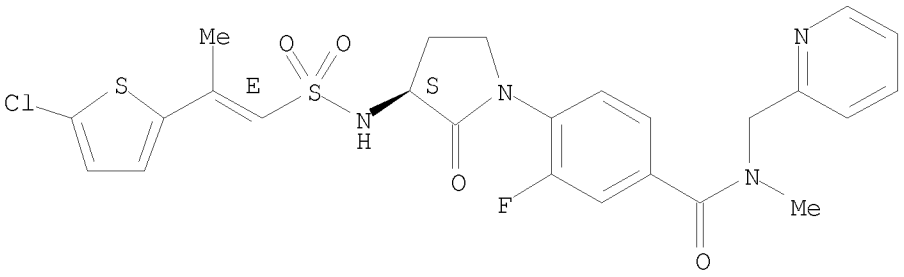
RN 811793-49-4 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-53-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

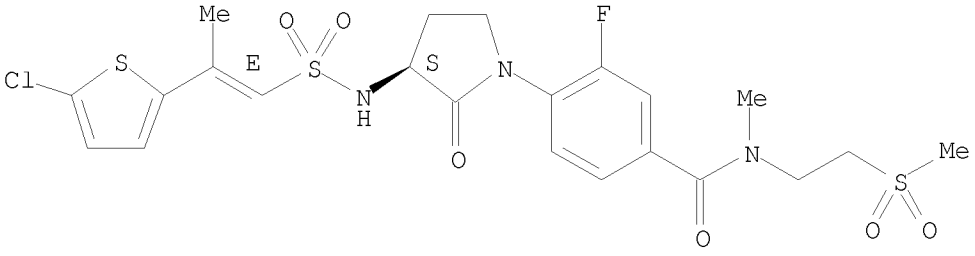
Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-56-3 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)

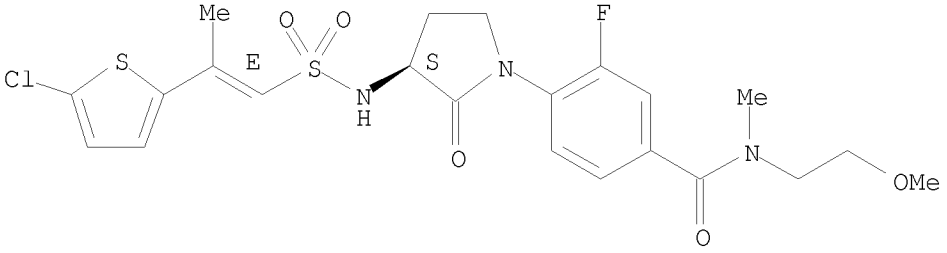
Absolute stereochemistry.

Double bond geometry as shown.



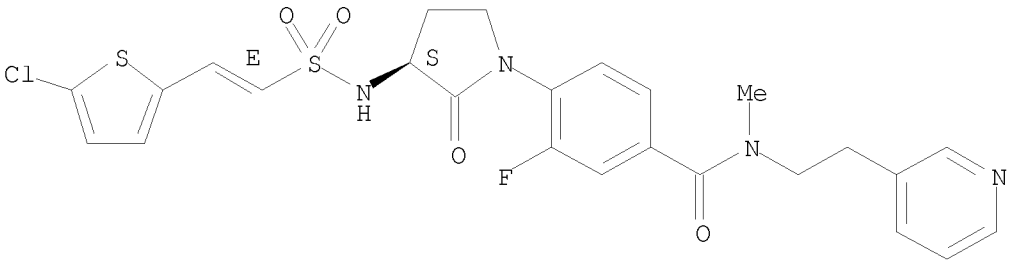
RN 811793-61-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-methoxyethyl)-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-62-1 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]- (CA INDEX NAME)

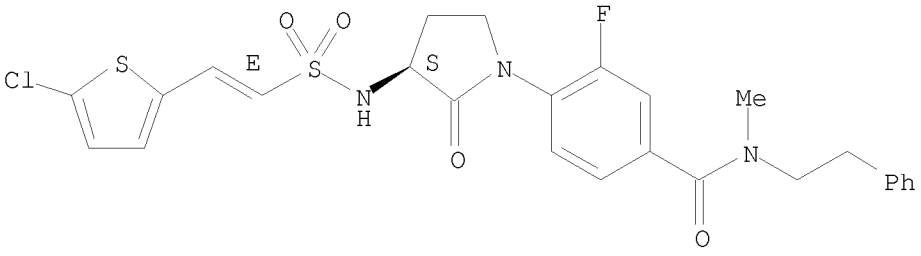
Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-65-4 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(2-phenylethyl)- (CA INDEX NAME)

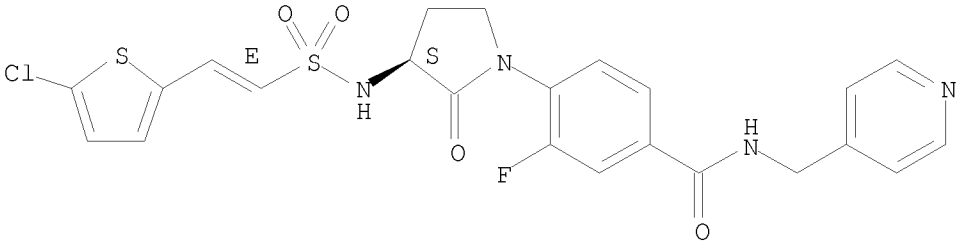
Absolute stereochemistry.

Double bond geometry as shown.



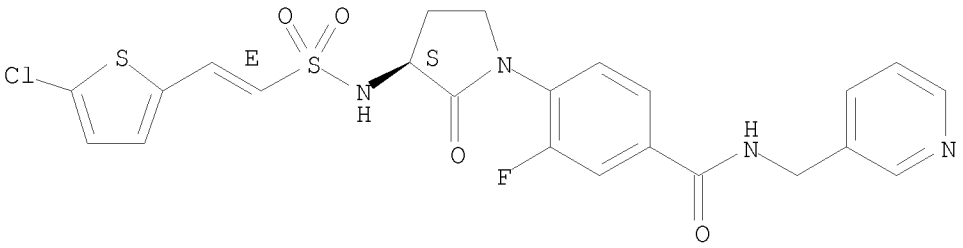
RN 811793-69-8 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(4-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



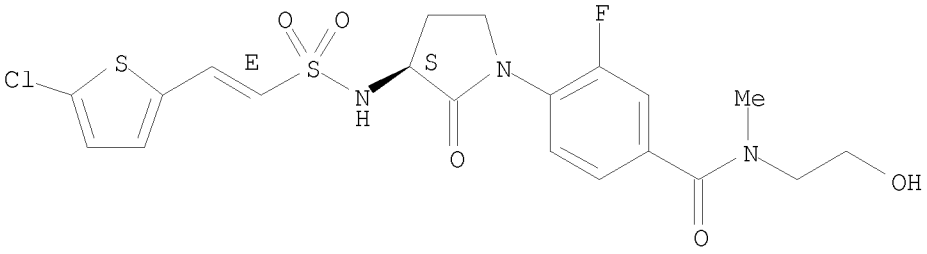
RN 811793-71-2 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(3-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



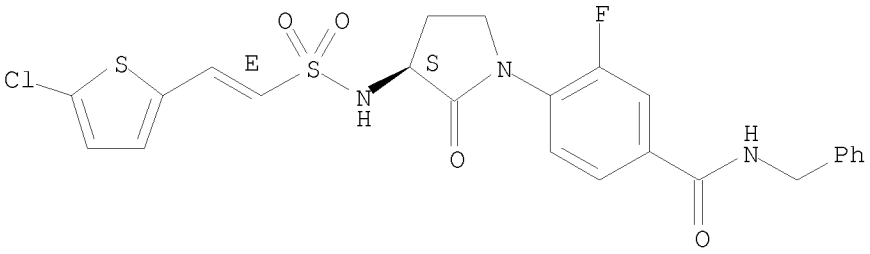
RN 811793-74-5 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-hydroxyethyl)-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



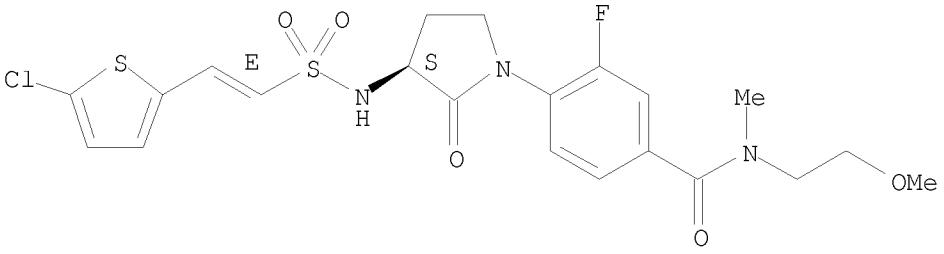
RN 811793-76-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



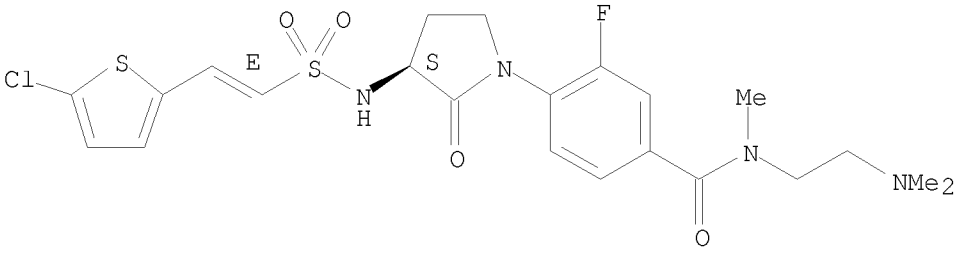
RN 811793-79-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(2-methoxyethyl)-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



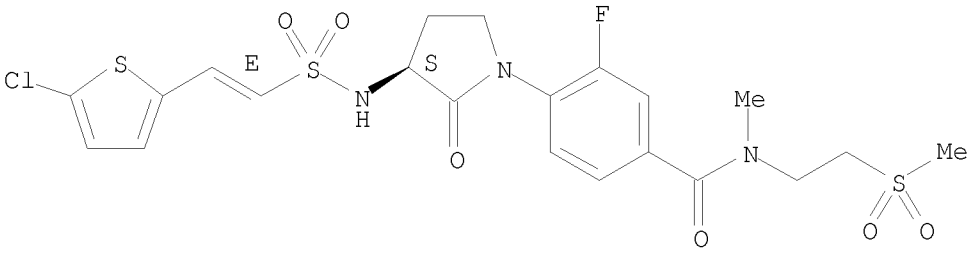
RN 811793-82-5 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



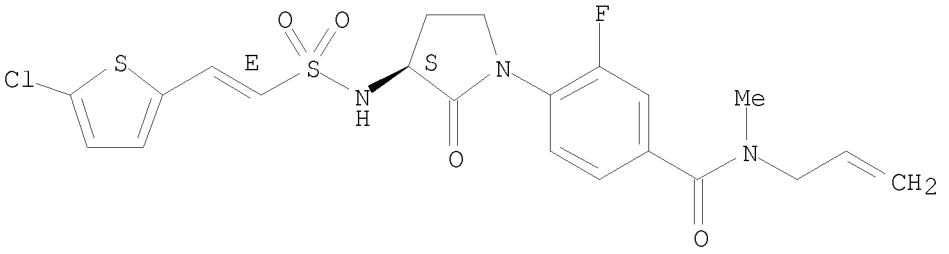
RN 811793-83-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylsulfonyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



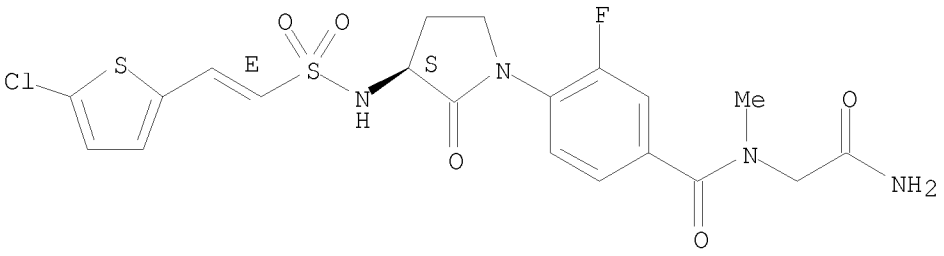
RN 811793-84-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



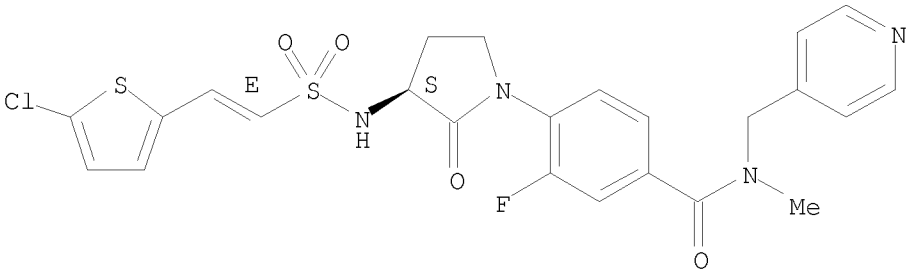
RN 811793-86-9 HCAPLUS
CN Benzamide, N-(2-amino-2-oxoethyl)-4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



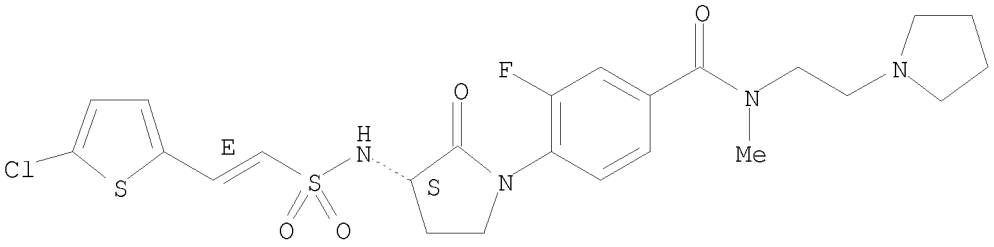
RN 811793-87-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-90-5 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

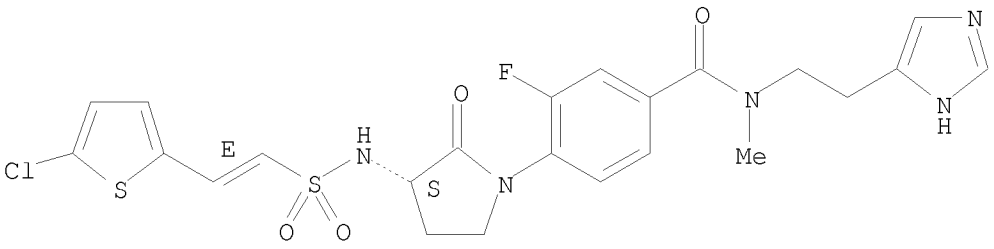
Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-92-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-[2-(1H-imidazol-4-yl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

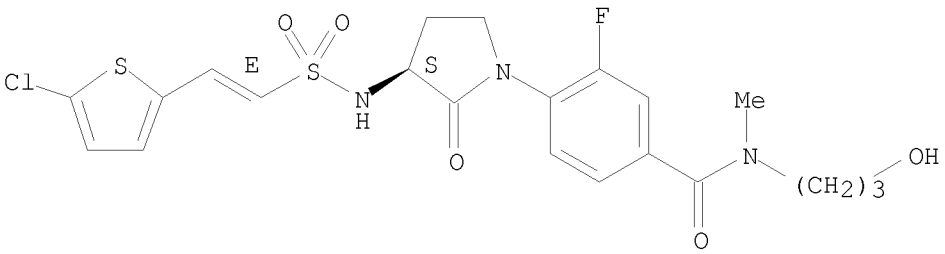
Absolute stereochemistry.

Double bond geometry as shown.



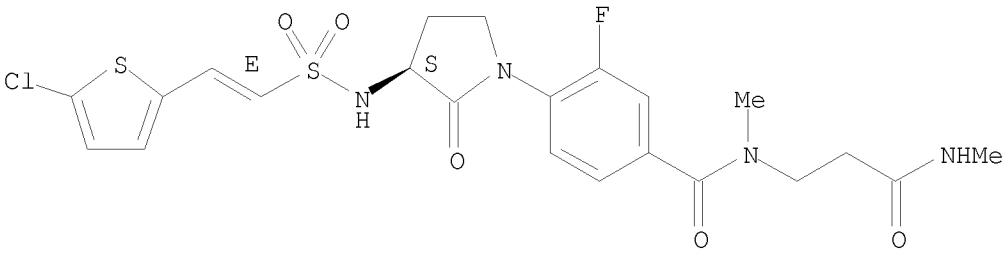
RN 811793-94-9 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-(3-hydroxypropyl)-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-96-1 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[3-(methylamino)-3-oxopropyl]- (CA INDEX NAME)

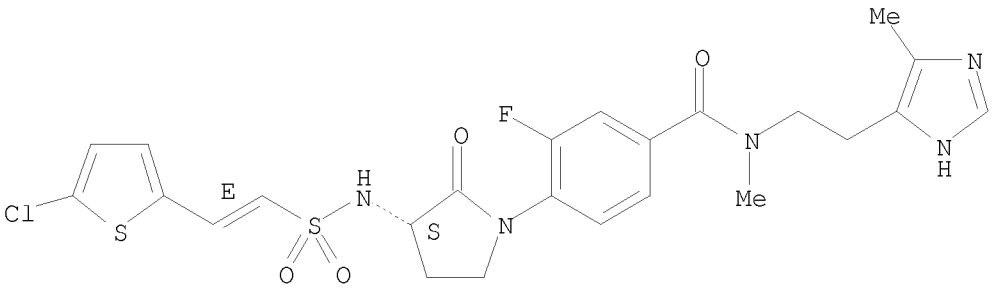
Absolute stereochemistry.
Double bond geometry as shown.



RN 811793-98-3 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(5-methyl-1H-imidazol-4-yl)ethyl]- (9CI) (CA INDEX NAME)

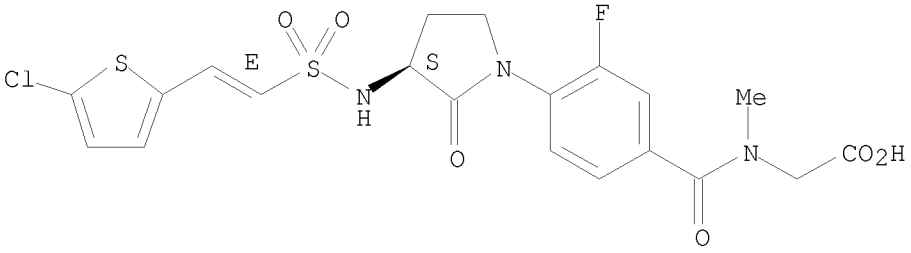
Absolute stereochemistry.

Double bond geometry as shown.



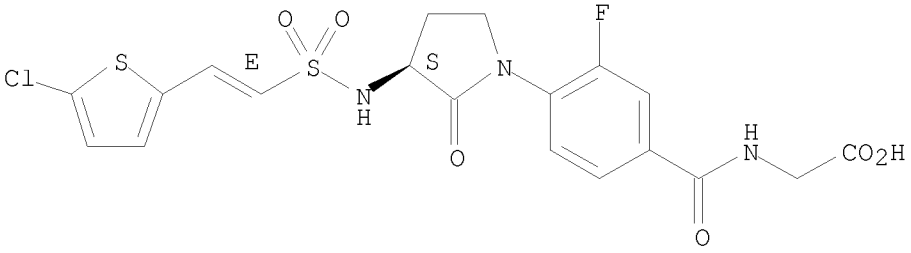
RN 811793-99-4 HCAPLUS
CN Glycine, N-[4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluorobenzoyl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



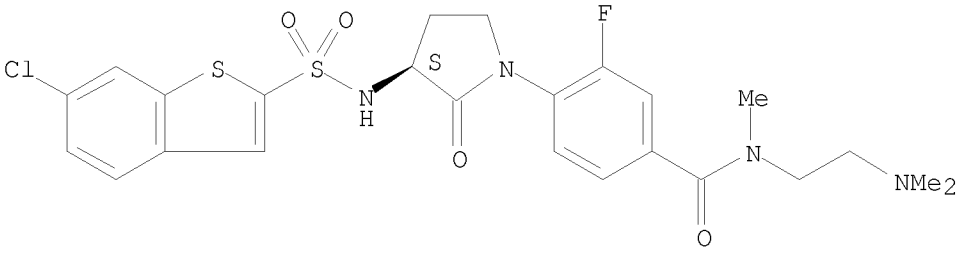
RN 811794-01-1 HCAPLUS
CN Glycine, N-[4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluorobenzoyl]- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811794-02-2 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

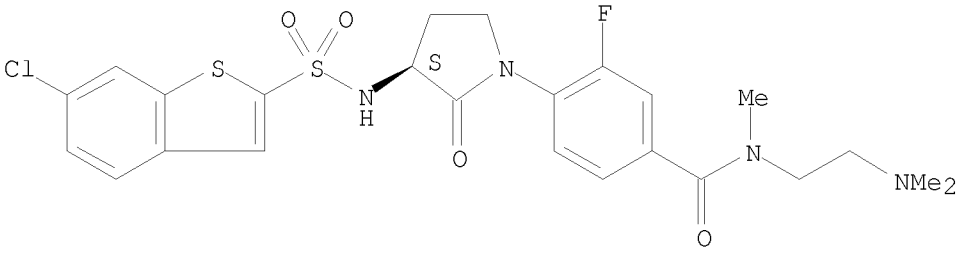


RN 811794-03-3 HCAPLUS
CN Formic acid, compd. with 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methylbenzamide (1:1) (CA INDEX NAME)

CM 1

CRN 811794-02-2
CMF C24 H26 Cl F N4 O4 S2

Absolute stereochemistry.

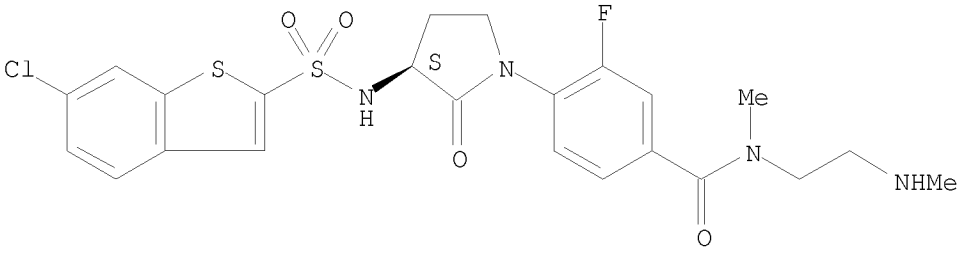


CM 2

CRN 64-18-6
CMF C H2 O2

RN 811794-04-4 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

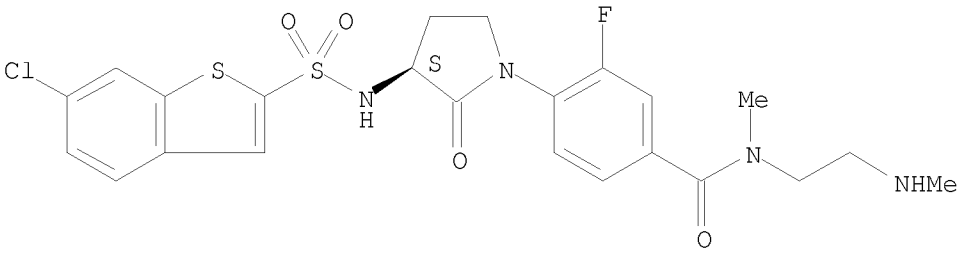


RN 811794-05-5 HCAPLUS
CN Formic acid, compd. with 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]benzamide (1:1) (CA INDEX NAME)

CM 1

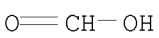
CRN 811794-04-4
CMF C23 H24 Cl F N4 O4 S2

Absolute stereochemistry.



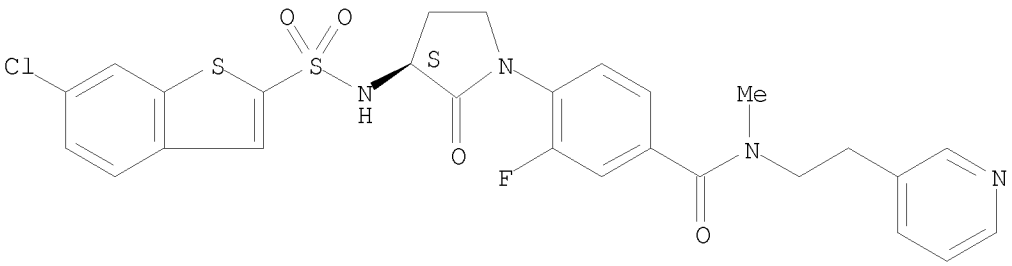
CM 2

CRN 64-18-6
CMF C H2 O2



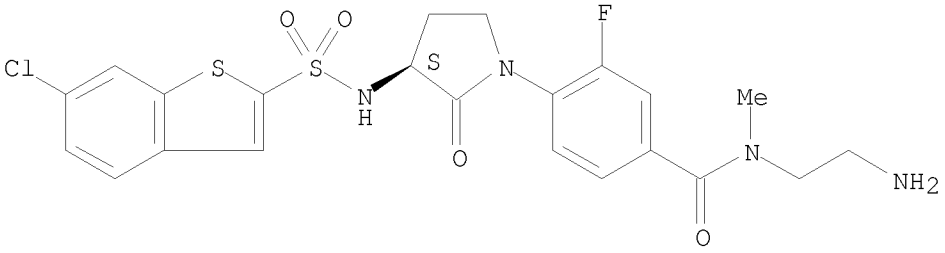
RN 811794-07-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



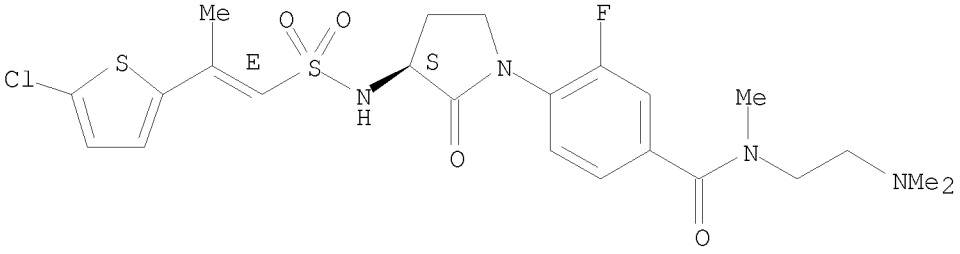
RN 811794-09-9 HCAPLUS
CN Benzamide, N-(2-aminoethyl)-4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 811794-11-3 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



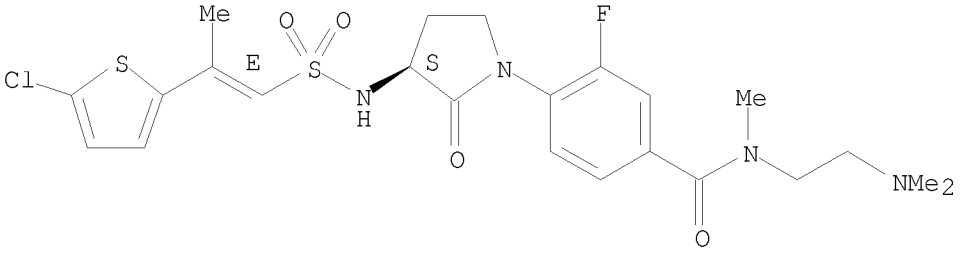
RN 811794-12-4 HCAPLUS
CN Formic acid, compd. with 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-N-[2-(dimethylamino)ethyl]-3-fluoro-N-methylbenzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 811794-11-3

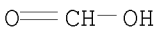
CMF C23 H28 Cl F N4 O4 S2

Absolute stereochemistry.
Double bond geometry as shown.



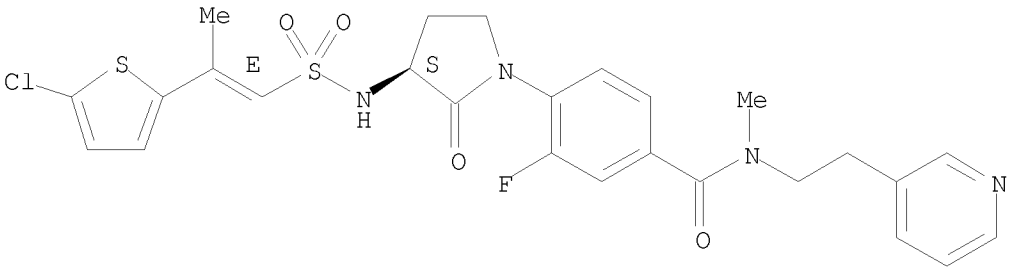
CM 2

CRN 64-18-6
CMF C H2 O2



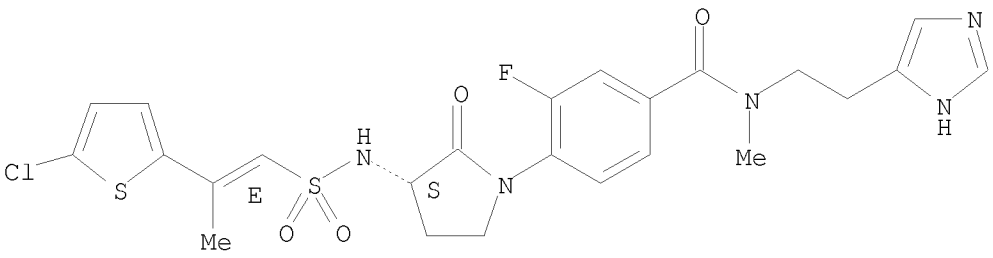
RN 811794-14-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



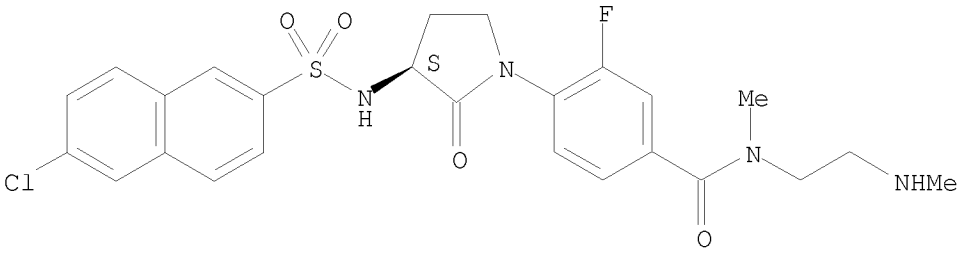
RN 811794-16-8 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-[2-(1H-imidazol-4-yl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 811794-18-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chloro-2-naphthalenyl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-[2-(methylamino)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:511293 HCAPLUS
DOCUMENT NUMBER: 139:85238
TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Young, Robert John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053925	A1	20030703	WO 2002-EP14826	20021220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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CA 2471461	A1	20030703	CA 2002-2471461		20021220
AU 2002366747	A1	20030709	AU 2002-366747		20021220
EP 1456172	A1	20040915	EP 2002-805350		20021220
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BR 2002015200	A	20041013	BR 2002-15200		20021220
CN 1620434	A	20050525	CN 2002-828224		20021220
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NZ 533129	A	20061222	NZ 2002-533129		20021220
RU 2318807	C2	20080310	RU 2004-122427		20021220
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US 20050059726	A1	20050317	US 2004-499529		20041101
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			WO 2002-EP14826	W	20021220

OTHER SOURCE(S): MARPAT 139:85238

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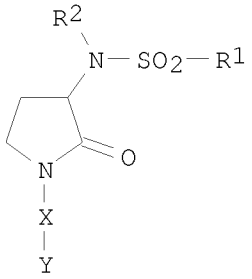

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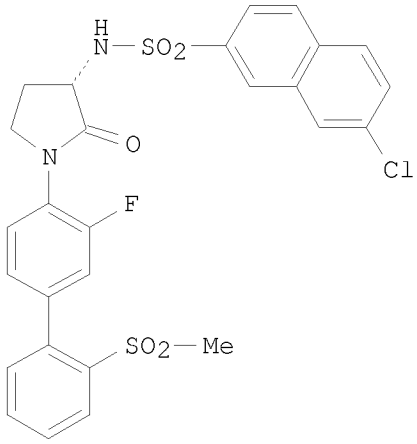


II

AB Title compds. I [wherein R1 = (un)substituted naphthyl, benzothienyl, benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRaRb, SO0-2Rc, SO2NRaRb, or (un)substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb = (un)substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable derivs. thereof] were prepared as factor Xa inhibitors. For example,

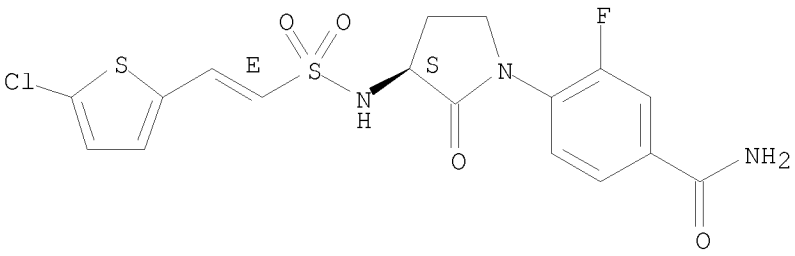
coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4-yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the presence of pyridine in DCM gave II. The latter inhibited human factor Xa in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns. comprising I are useful as medicines for the amelioration of clin. conditions for which a Factor Xa inhibitor is indicated (no data).

IT 553651-65-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

RN 553651-65-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

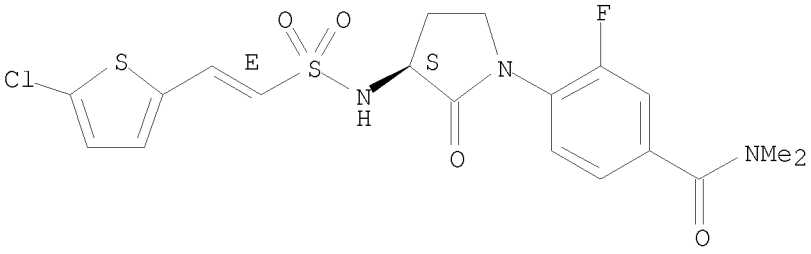


IT 553651-62-0P 553651-66-4P 553651-67-5P, (S)-4-[3-[[(6-Chlorobenzothien-2-yl)sulfonyl]amino]-2-oxopyrrolidin-1-yl]-3-fluoro-N,N-dimethylbenzamide 553651-68-6P 553651-69-7P, (S)-4-[3-[[(6-Chlorobenzothien-2-yl)sulfonyl]amino]-2-oxopyrrolidin-1-yl]-3-fluoro-N-isopropyl-N-methylbenzamide 553651-92-6P 553651-93-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

RN 553651-62-0 HCAPLUS

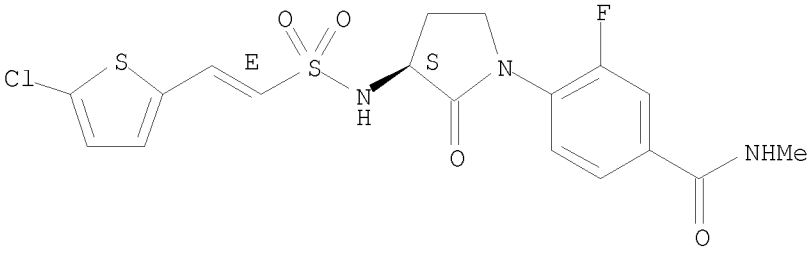
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



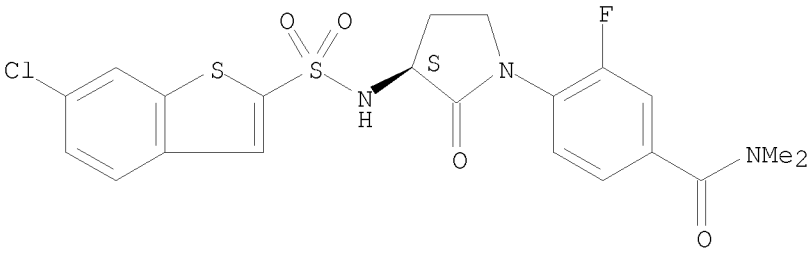
RN 553651-66-4 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



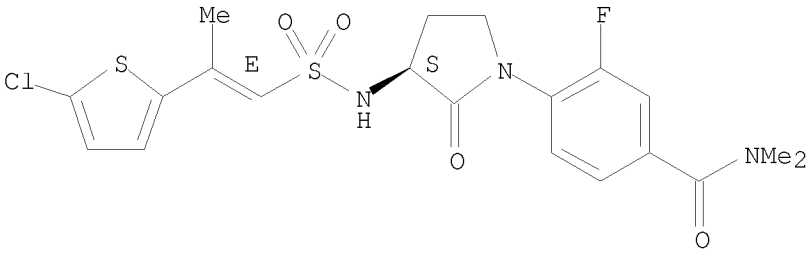
RN 553651-67-5 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



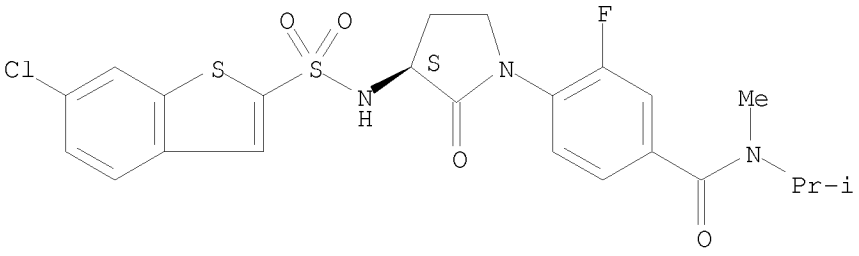
RN 553651-68-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



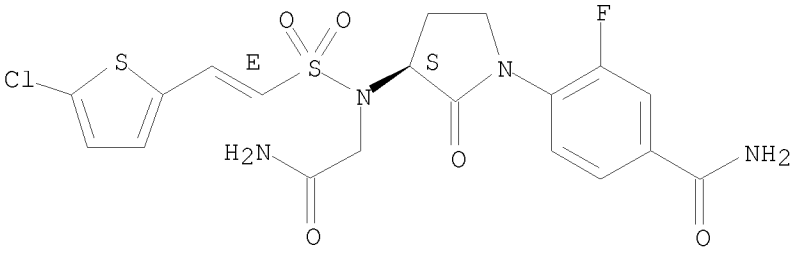
RN 553651-69-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(1-methylethyl)- (CA INDEX NAME)

Absolute stereochemistry.



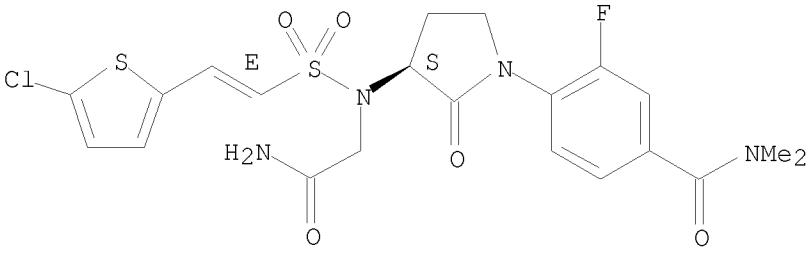
RN 553651-92-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 553651-93-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l5 ibib abs hitstr tot

L5 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:511293 HCAPLUS
DOCUMENT NUMBER: 139:85238
TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Young, Robert John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053925	A1	20030703	WO 2002-EP14826	20021220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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CA 2471461	A1	20030703	CA 2002-2471461	20021220 <--
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EP 1456172	A1	20040915	EP 2002-805350	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015200	A	20041013	BR 2002-15200	20021220
CN 1620434	A	20050525	CN 2002-828224	20021220

JP 2005519885	T	20050707	JP 2003-554642		20021220
HU 2005000137	A2	20060228	HU 2005-137		20021220
NZ 533129	A	20061222	NZ 2002-533129		20021220
RU 2318807	C2	20080310	RU 2004-122427		20021220
ZA 2004004147	A	20050621	ZA 2004-4147		20040527
IN 2004DN01467	A	20070209	IN 2004-DN1467		20040528
MX 2004PA06139	A	20041101	MX 2004-PA6139		20040621
NO 2004002990	A	20040920	NO 2004-2990		20040713
US 20050059726	A1	20050317	US 2004-499529		20041101
PRIORITY APPLN. INFO.:			GB 2001-30705	A	20011221
			WO 2002-EP14826	W	20021220

OTHER SOURCE(S): MARPAT 139:85238

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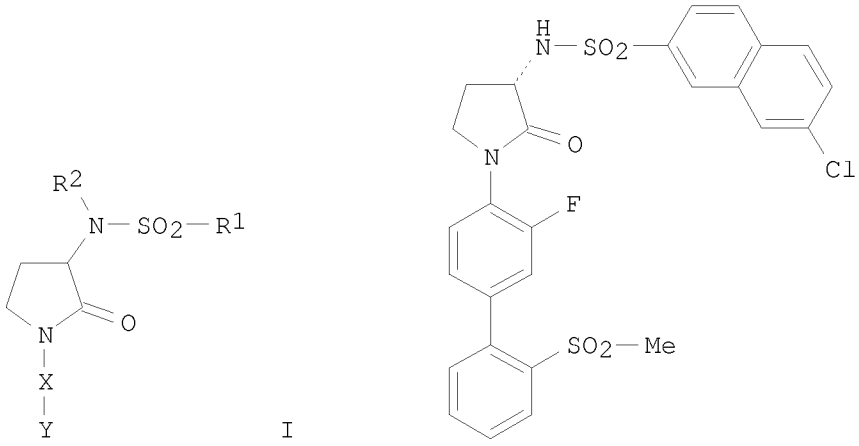


I II

AB Title compds. I [wherein R1 = (un)substituted naphthyl, benzothienyl, benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRaRb, SO0-2Rc, SO2NRaRb, or (un)substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb = (un)substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable derivs. thereof] were prepared as factor Xa inhibitors. For example, coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4-yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the presence of pyridine in DCM gave II. The latter inhibited human factor Xa in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns. comprising I are useful as medicines for the amelioration of clin. conditions for which a Factor Xa inhibitor is indicated (no data).

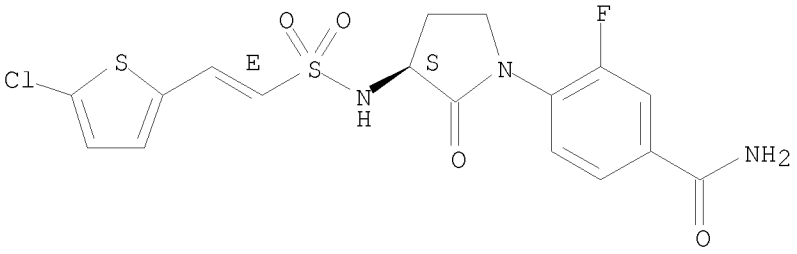
IT 553651-65-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

RN 553651-65-3 HCAPLUS

CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-

2-oxo-1-pyrrolidinyl]-3-fluoro- (CA INDEX NAME)

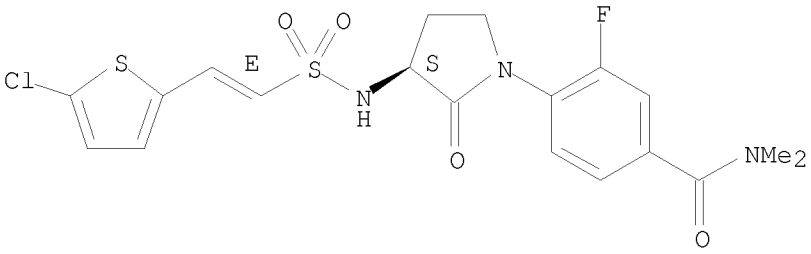
Absolute stereochemistry.
Double bond geometry as shown.



IT 553651-62-0P 553651-66-4P 553651-67-5P,
(S)-4-[3-[[(6-Chlorobenzothien-2-yl)sulfonyl]amino]-2-oxopyrrolidin-1-yl]-3-fluoro-N,N-dimethylbenzamide 553651-68-6P 553651-69-7P
, (S)-4-[3-[[(6-Chlorobenzothien-2-yl)sulfonyl]amino]-2-oxopyrrolidin-1-yl]-3-fluoro-N-isopropyl-N-methylbenzamide 553651-92-6P
553651-93-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of (sulfonylamino)pyrrolidinone factor Xa inhibitors starting from homoserines)

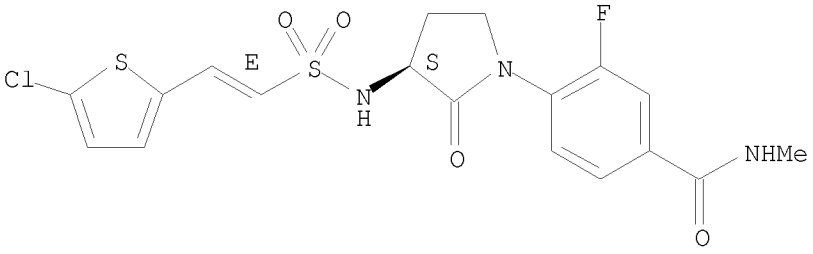
RN 553651-62-0 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



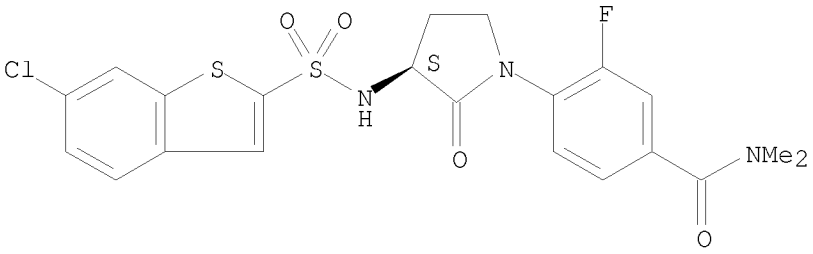
RN 553651-66-4 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



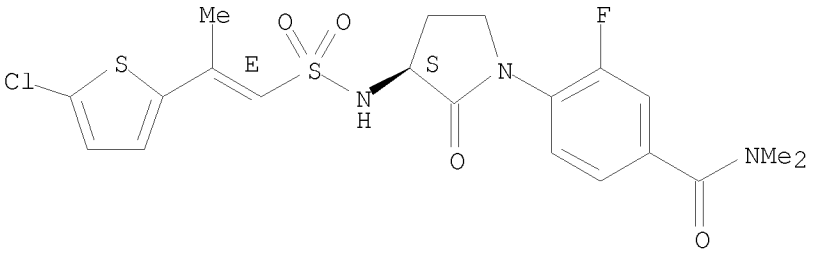
RN 553651-67-5 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



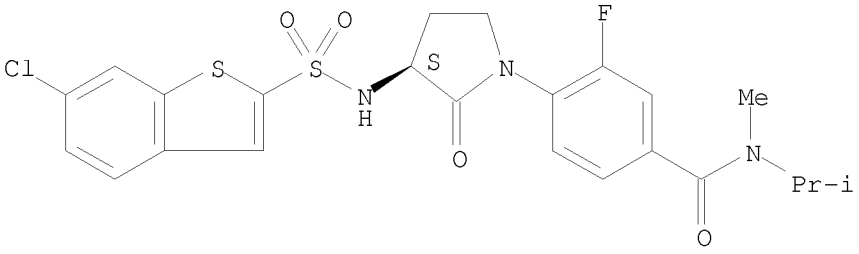
RN 553651-68-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[[(1E)-2-(5-chloro-2-thienyl)-1-propenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



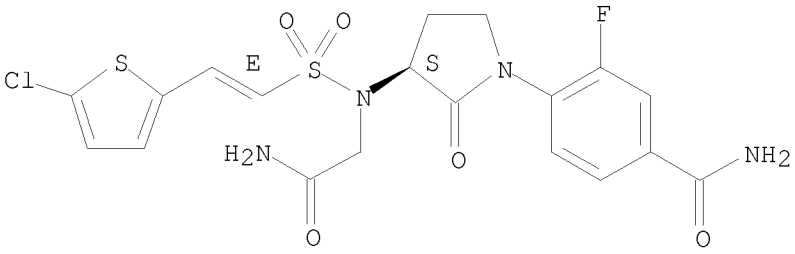
RN 553651-69-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[[(6-chlorobenzo[b]thien-2-yl)sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N-methyl-N-(1-methylethyl)- (CA INDEX NAME)

Absolute stereochemistry.



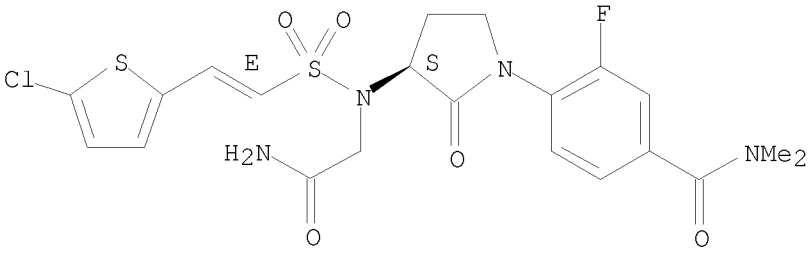
RN 553651-92-6 HCAPLUS
CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 553651-93-7 HCAPLUS
CN Benzamide, 4-[(3S)-3-[(2-amino-2-oxoethyl)[[(1E)-2-(5-chloro-2-thienyl)ethenyl]sulfonyl]amino]-2-oxo-1-pyrrolidinyl]-3-fluoro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l12 ibib abs tot

L12 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1124629 HCAPLUS
DOCUMENT NUMBER: 142:74440
TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-one derivatives as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry Anderson; Kleanthous, Savvas; Mason, Andrew Mcmurtrie; Watson, Nigel Stephen
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110435	A1	20041223	WO 2004-EP6592	20040617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1635817	A1	20060322	EP 2004-736979	20040617
EP 1635817	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006527729	T	20061207	JP 2006-515988	20040617
AT 345795	T	20061215	AT 2004-736979	20040617
ES 2276307	T3	20070616	ES 2004-736979	20040617
US 20060148879	A1	20060706	US 2005-561545	20051219
US 7329685	B2	20080212		

PRIORITY APPLN. INFO.:	GB 2003-14299	A	20030619
	WO 2004-EP6592	W	20040617

OTHER SOURCE(S): MARPAT 142:74440
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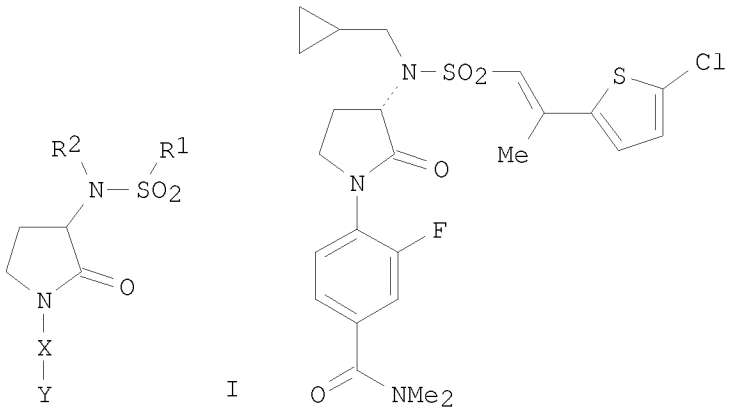

I II

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, phenyl(alkyl), etc.; R2 = alkyl(cycloalkyl), alkylamino, alkoxyalkyl, etc.; with the proviso that R2 does not present alkylmorpholino; X = (un)substituted Ph or aromatic heterocyclic group; Y = H, halo, alkyl, amino, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. The prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values less than 0.1 μM, and in measurement of prothrombin time (PT) of human plasma. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1124628 HCAPLUS
DOCUMENT NUMBER: 142:74439
TITLE: Preparation of 3-(sulfonylamino)pyrrolidine-2-one derivatives as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Kleanthous, Savvas; Senger, Stefan; Smith, Ian Edward David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110434	A1	20041223	WO 2004-EP6591	20040617

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP 1633347	A1	20060315	EP 2004-740039		20040617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
JP 2006527728	T	20061207	JP 2006-515987		20040617
US 20070203206	A1	20070830	US 2006-561259		20060428
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			WO 2004-EP6591	W	20040617

OTHER SOURCE(S): MARPAT 142:74439
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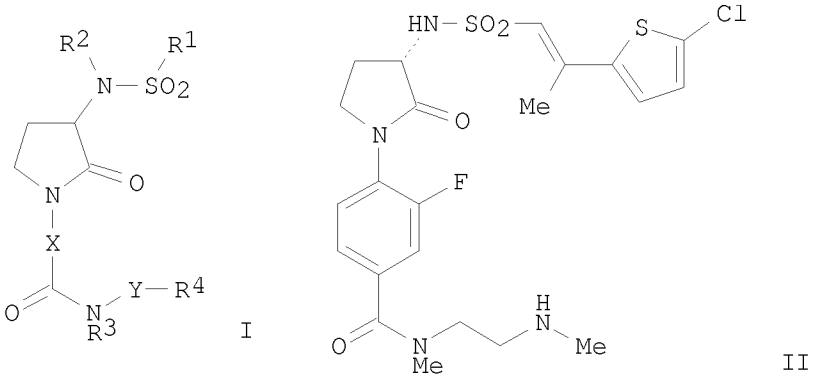

I II

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, thienylalkyl, phenyl(alkyl), etc.; R2 = H, alkyl, alkylamido, carbonylalkoxy, etc.; X = (un)substituted Ph or aromatic heterocyclic group; Y = absent or alkylene; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. Most of the prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values of less than 1 μM. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:511293 HCAPLUS
DOCUMENT NUMBER: 139:85238
TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek

Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Young, Robert John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053925	A1	20030703	WO 2002-EP14826	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
TW 262075	B	20060921	TW 2002-91136597	20021219
CA 2471461	A1	20030703	CA 2002-2471461	20021220
AU 2002366747	A1	20030709	AU 2002-366747	20021220
EP 1456172	A1	20040915	EP 2002-805350	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015200	A	20041013	BR 2002-15200	20021220
CN 1620434	A	20050525	CN 2002-828224	20021220
JP 2005519885	T	20050707	JP 2003-554642	20021220
HU 2005000137	A2	20060228	HU 2005-137	20021220
NZ 533129	A	20061222	NZ 2002-533129	20021220
RU 2318807	C2	20080310	RU 2004-122427	20021220
ZA 2004004147	A	20050621	ZA 2004-4147	20040527
IN 2004DN01467	A	20070209	IN 2004-DN1467	20040528
MX 2004PA06139	A	20041101	MX 2004-PA6139	20040621
NO 2004002990	A	20040920	NO 2004-2990	20040713
US 20050059726	A1	20050317	US 2004-499529	20041101
PRIORITY APPLN. INFO.:			GB 2001-30705 A	20011221
			WO 2002-EP14826 W	20021220

OTHER SOURCE(S): MARPAT 139:85238
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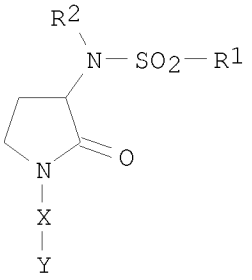

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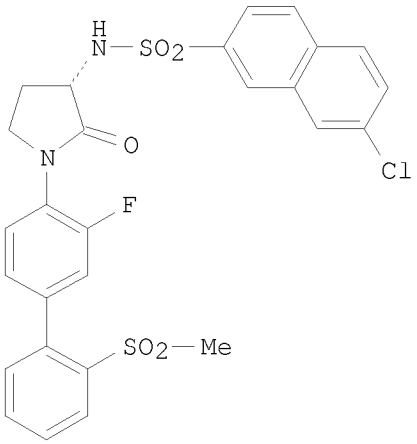

II

AB Title compds. I [wherein R1 = (un)substituted naphthyl, benzothienyl, benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRaRb, SO0-2Rc, SO2NRaRb, or (un)substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb = (un)substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable derivs. thereof] were prepared as factor Xa inhibitors. For example, coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4-yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the presence of pyridine in DCM gave II. The latter inhibited human factor Xa in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns. comprising I are useful as medicines for the amelioration of clin. conditions for which a Factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L13 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1124629 HCAPLUS

DOCUMENT NUMBER: 142:74440

TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-one derivatives as factor Xa inhibitors

INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry Anderson; Kleanthous, Savvas; Mason, Andrew Mcmurtrie; Watson, Nigel Stephen

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110435	A1	20041223	WO 2004-EP6592	20040617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1635817	A1	20060322	EP 2004-736979	20040617
EP 1635817	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006527729	T	20061207	JP 2006-515988	20040617
AT 345795	T	20061215	AT 2004-736979	20040617
ES 2276307	T3	20070616	ES 2004-736979	20040617
US 20060148879	A1	20060706	US 2005-561545	20051219
US 7329685	B2	20080212		

PRIORITY APPLN. INFO.: GB 2003-14299 A 20030619
WO 2004-EP6592 W 20040617

OTHER SOURCE(S): MARPAT 142:74440

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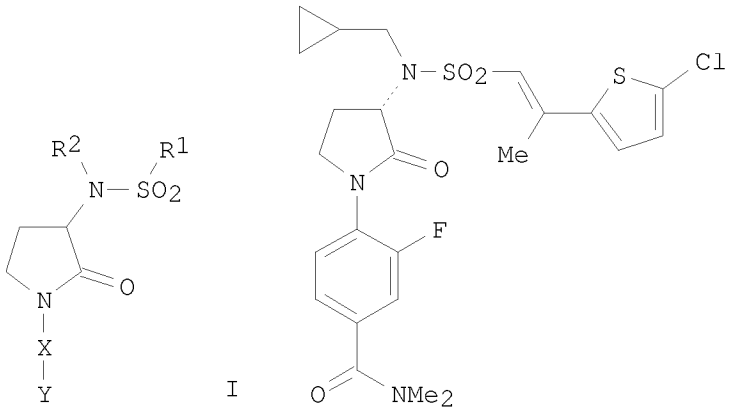

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, phenyl(alkyl), etc.; R2 = alkyl(cycloalkyl), alkylamino, alkoxyalkyl, etc.; with the proviso that R2 does not present alkylmorpholino; X = (un)substituted Ph or aromatic heterocyclic group; Y = H, halo, alkyl, amino, etc.; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. The prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values less than 0.1 μM, and in measurement of prothrombin time (PT) of human plasma. Thus, I and their

pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1124628 HCAPLUS
DOCUMENT NUMBER: 142:74439
TITLE: Preparation of 3-(sulfonylamino)pyrrolidine-2-one derivatives as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Kleanthous, Savvas; Senger, Stefan; Smith, Ian Edward David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004110434	A1	20041223	WO 2004-EP6591		20040617
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
EP 1633347	A1	20060315	EP 2004-740039		20040617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
JP 2006527728	T	20061207	JP 2006-515987		20040617
US 20070203206	A1	20070830	US 2006-561259		20060428
PRIORITY APPLN. INFO.:			GB 2003-14370	A	20030619
			WO 2004-EP6591	W	20040617

OTHER SOURCE(S): MARPAT 142:74439
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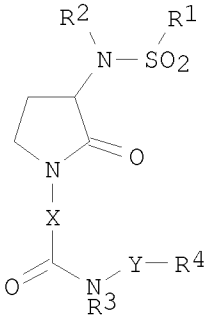

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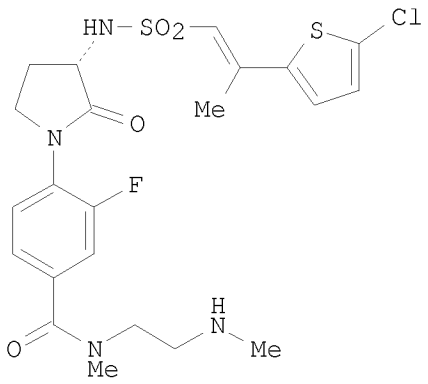

II

AB Title compds. represented by the formula I [wherein R1 = (un)substituted naphthyl, 2-benzofuryl, thienylalkyl, phenyl(alkyl), etc.; R2 = H, alkyl, alkylamido, carbonylalkoxy, etc.; X = (un)substituted Ph or aromatic heterocyclic group; Y = absent or alkylene; and pharmaceutically acceptable derivs. thereof] were prepared as inhibitors of factor Xa. For example, II was given in a multi-step synthesis starting from the reaction of 2-fluoro-4-iodoaniline with tert-Bu ((3S)-2-oxotetrahydro-3-furanyl)carbamate. Most of the prepared compds. showed activity in vitro assay for inhibition of factor Xa with Ki values of less than 1 μM. Thus, I and their pharmaceutical compns. are useful medicine, particularly in the amelioration of a clin. condition for which a factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:511293 HCAPLUS
DOCUMENT NUMBER: 139:85238
TITLE: Preparation of 3-(sulfonylamino)pyrrolidin-2-ones as factor Xa inhibitors
INVENTOR(S): Borthwick, Alan David; Chan, Chuen; Kelly, Henry Anderson; King, Nigel Paul; Kleanthous, Savvas; Mason, Andrew McMurtrie; Pinto, Ivan Leo; Pollard, Derek Roland; Senger, Stefan; Shah, Gita Punjabhai; Watson, Nigel Stephen; Young, Robert John
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053925	A1	20030703	WO 2002-EP14826	20021220

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PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

TW 262075	B	20060921	TW 2002-91136597		20021219
CA 2471461	A1	20030703	CA 2002-2471461		20021220
AU 2002366747	A1	20030709	AU 2002-366747		20021220
EP 1456172	A1	20040915	EP 2002-805350		20021220
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BR 2002015200	A	20041013	BR 2002-15200		20021220
CN 1620434	A	20050525	CN 2002-828224		20021220
JP 2005519885	T	20050707	JP 2003-554642		20021220
HU 2005000137	A2	20060228	HU 2005-137		20021220
NZ 533129	A	20061222	NZ 2002-533129		20021220
RU 2318807	C2	20080310	RU 2004-122427		20021220
ZA 2004004147	A	20050621	ZA 2004-4147		20040527
IN 2004DN01467	A	20070209	IN 2004-DN1467		20040528
MX 2004PA06139	A	20041101	MX 2004-PA6139		20040621
NO 2004002990	A	20040920	NO 2004-2990		20040713
US 20050059726	A1	20050317	US 2004-499529		20041101
PRIORITY APPLN. INFO.:			GB 2001-30705	A	20011221
			WO 2002-EP14826	W	20021220

OTHER SOURCE(S): MARPAT 139:85238
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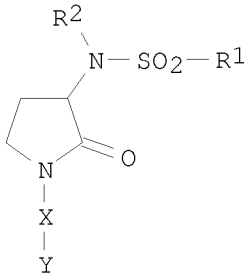

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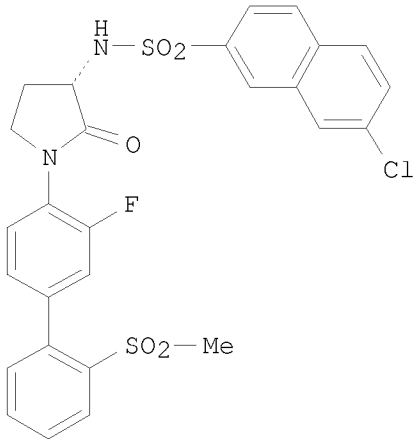

II

AB Title compds. I [wherein R1 = (un)substituted naphthyl, benzothienyl, benzofuryl, indolyl, phenyl(alkyl), 2,2'-bithiophen-5-yl, thienyl(alkyl), or thieno[3,2-b]thiophenyl; R2 = H, (CH2)nCONRaRb, (CH2)nCO2Rc, morpholinoalkyl, CO2Rc, or carboxyalkyl; X = H, halo, CN, alkyl, alkenyl, CF3, NRaRb, NO2, NRcCHO, NHCORc, NHSO2Rc, alkoxyalkyl, hydroxyalkyl, CORc, CONRaRb, SO0-2Rc, SO2NRaRb, or (un)substituted Ph, heterocyclyl, or heteroaryl; n = 1-3; Ra and Rb = independently H or alkyl; or NRaRb =

(un)substituted heterocyclyl; Rc = alkyl; and pharmaceutically acceptable derivs. thereof] were prepared as factor Xa inhibitors. For example, coupling of (3S)-3-amino-1-[3-fluoro-2'-(methylsulfonyl)-1,1'-biphenyl-4-yl]pyrrolidin-2-one with 6-chloro-2-naphthylsulfonyl chloride in the presence of pyridine in DCM gave II. The latter inhibited human factor Xa in an in vitro fluorogenic assay with Ki <10 nM. Thus, I and compns. comprising I are useful as medicines for the amelioration of clin. conditions for which a Factor Xa inhibitor is indicated (no data).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	55.40	602.37

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-8.00	-8.00

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